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(FILE 'HOME' ENTERED AT 11:06:08 ON 18 JUN 2004)

FILE 'REGISTRY' ENTERED AT 11:06:20 ON 18 JUN 2004

L1 STRUCTURE UPLOADED

L2 10 S L1

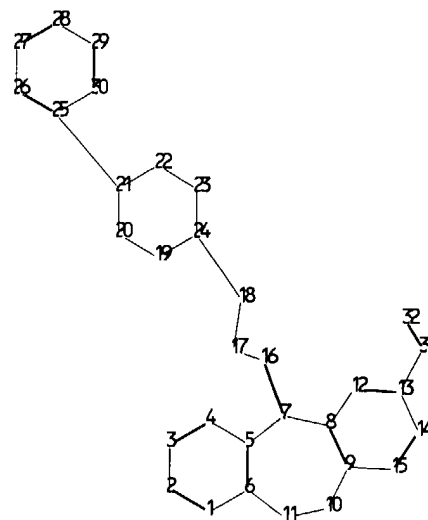
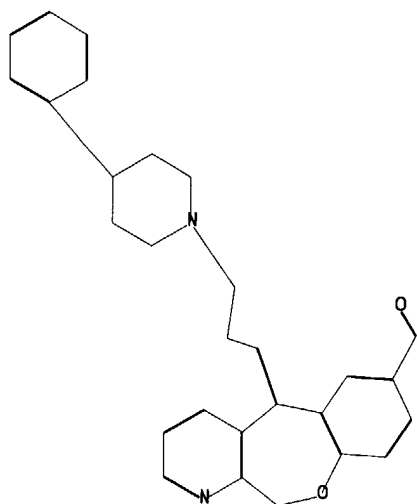
L3 STRUCTURE UPLOADED

L4 2 S L3

L5 46 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:08:49 ON 18 JUN 2004

L6 6 S L5



chain nodes :

16 17 18 31 32

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 19 20 21 22 23 24 25 26 27 28
29 30

chain bonds :

7-16 13-31 16-17 17-18 18-24 21-25 31-32

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-12 8-9 9-10 9-15 10-11 12-13 13-14
14-15 19-20 19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28 28-29 29-30

exact/norm bonds :

5-7 6-11 7-8 9-10 10-11 18-24 19-20 19-24 20-21 21-22 22-23 23-24 31-32

exact bonds :

7-16 13-31 16-17 17-18 21-25

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-12 8-9 9-15 12-13 13-14 14-15 25-26 25-30 26-27
27-28 28-29 29-30

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
32:CLASS

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=> d 1-6 bib abs hitstr

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:430808 CAPLUS
DN 140:406829
TI Preparation of benzoxepino[3,4-b]pyridines as CCRL-antagonists for the
treatment of demyelinating inflammatory diseases.
IN Carson, Kenneth G.; Harriman, Geraldine C. B.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043965	A1	20040527	WO 2003-US35817	20031112
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2004106639	A1	20040603	US 2003-706835	20031112
PRAI	US 2002-425947P	P	20021113		
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = halo] and their pharmaceutically acceptable salts were prepared. For example, sodium hypochlorite mediated oxidation of Me ketone II (R2 = COMe), prepared from 4-oxopiperidine-1-carboxylic acid tert-Bu ester in 8-steps, afforded benzoxepino[3,4-b]pyridine II (R2 = CO2H) in 96% yield. In inhibition of 125I-MIP-1 α binding to THP-1 cell membrane assays, 3-examples of compds. I exhibited Ki values ranging from 2.23-1000 nM, e.g., the Ki of benzoxepino[3,4-b]pyridine II (R2 = CO2H) was 2.3 nM. Compds. I were claimed useful for the treatment of multiple sclerosis.

IT 690660-14-1P 690660-15-2P 690660-16-3P
690660-17-4P 690660-18-5P 690660-19-6P
690660-20-9P 690660-24-3P

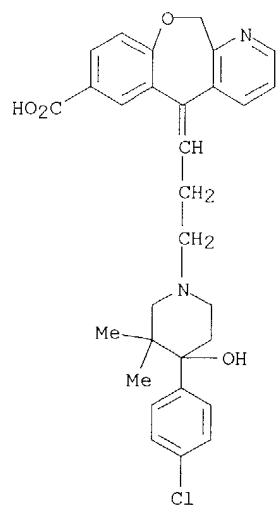
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoxepino[3,4-b]pyridines as CCRL-antagonists for the treatment of demyelinating inflammatory diseases.)

RN 690660-14-1 CAPLUS

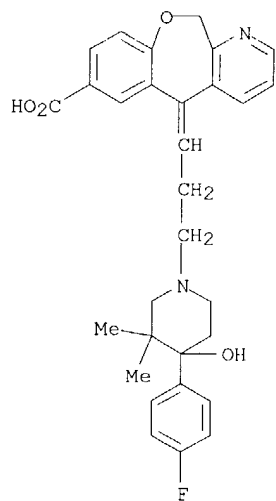
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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RN 690660-15-2 CAPLUS

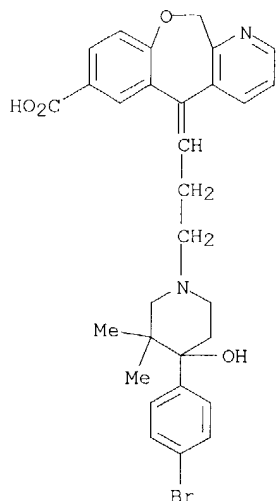
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 690660-16-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

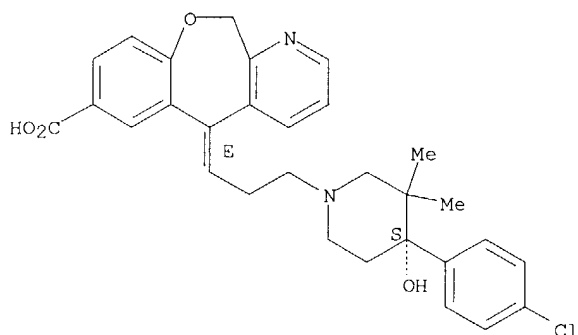
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RN 690660-17-4 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

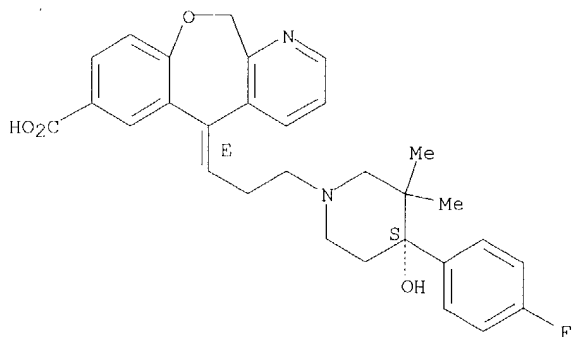
Absolute stereochemistry.
Double bond geometry as shown.



RN 690660-18-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-fluorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



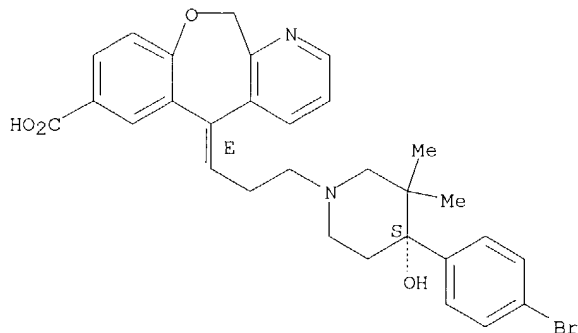
10706835

RN 690660-19-6 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-bromophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro-, (5E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

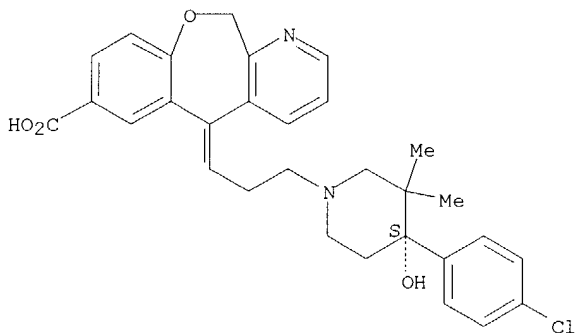


RN 690660-20-9 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

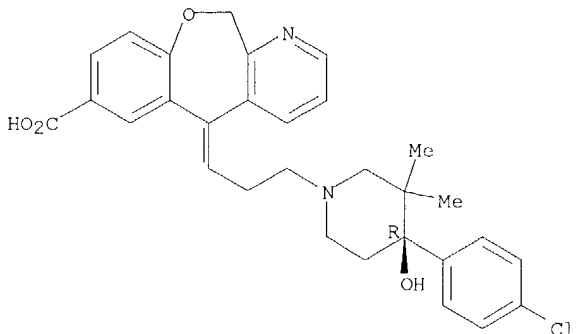


RN 690660-24-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[(4R)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



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L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
RE

- (1) Kyowa Hakko Kogyo Kk; WO 0109138 A 2001 CAPLUS
- (2) Ohshima, E; US 2002169155 A1 2002

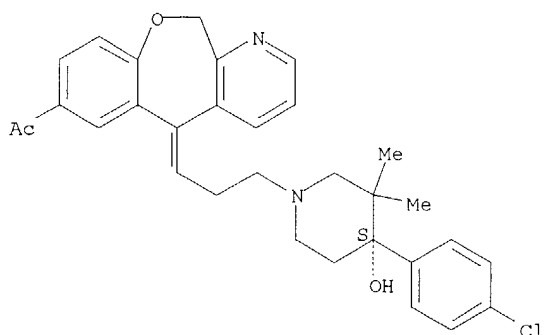
IT **690660-23-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of benzoxepino[3,4-b]pyridines as CCR1-antagonists for the
treatment of demyelinating inflammatory diseases.)

RN 690660-23-2 CAPLUS

CN Ethanone, 1-[5-[3-[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-
piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:869579 CAPLUS

DN 137:370077

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera,
Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.

PA Millennium Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 138 pp., Cont.-in-part of U. S. Ser. No. 627,886.
CODEN: USXXCO

DT Patent

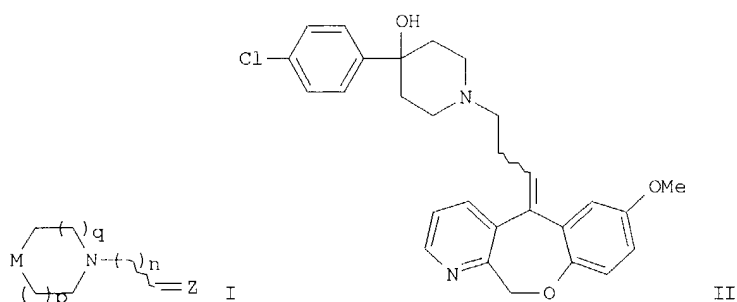
LA English

FAN.CNT 6

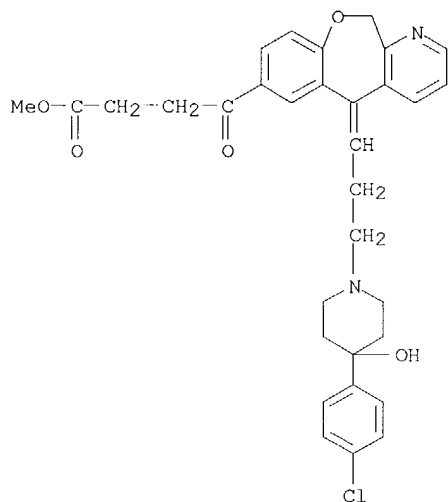
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002169155	A1	20021114	US 2001-989086	20011121
	US 6613905	B1	20030902	US 1998-148823	19980904
→	US 6329385	B1	20011211	US 1999-235102	19990121
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	WO 2003045942	A2	20030605	WO 2002-US36953	20021113 ←
	WO 2003045942	A3	20030912		
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	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,				
	TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,				
	MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,				
	CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				
	PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,				
	NE, SN, TD, TG				
PRAI	US 1998-148823	A2	19980904		
	US 1999-235102	A2	19990121		
	US 1999-362837	A2	19990728		
	US 2000-627886	A2	20000728		
	US 1998-10320	B2	19980121		
	US 2001-989086	A2	20011121		

OS MARPAT 137:370077

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- AB Therapeutically effective compds. I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR₂, CR₁R₂, OCR₁R₂O, CH₂CR₁R₂O; R₁ = H, OH, N₃, etc.; R₂ = H, acyl, aryl, etc.; q₁ = 0-3; q₂ = 0-1; ring containing M is substituted or unsubstituted; and physiol. acceptable salts thereof] were prepared for treatment of diseases associated with aberrant leukocyte recruitment and/or activation (no data). I displayed chemokine binding activities with IC₅₀ values ranging from < 1 μ M to < 1000 μ M. Thus, the [[1]benzoxepino[2,3-b]pyridinylidene)propyl]piperidinol II was prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated
- IT **324785-37-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo-, methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
- RN 324785-37-7 CAPLUS
- CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo-, methyl ester
 (9CI) (CA INDEX NAME)



- IT **233260-14-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo-, methyl ester
324782-15-2P, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo-, methyl ester

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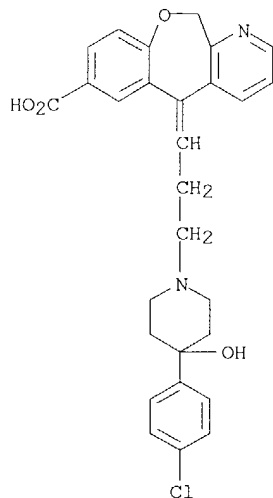
, methyl ester **324782-79-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-81-2P**, Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

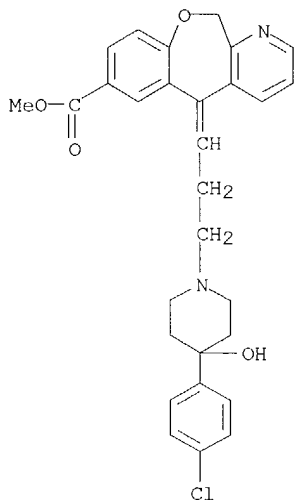
RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-15-2 CAPLUS

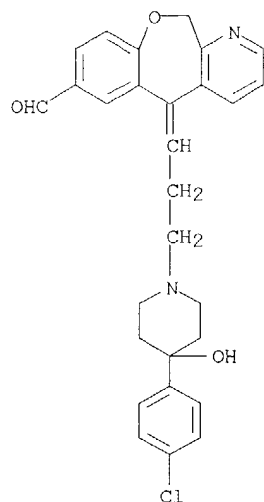
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)



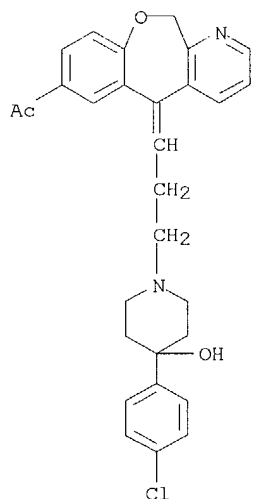
RN 324782-79-8 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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RN 324782-81-2 CAPLUS
CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



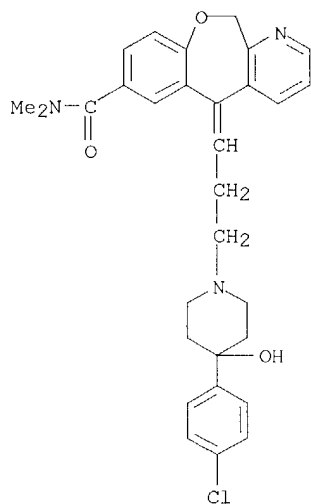
IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- **324782-09-4P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- **324782-11-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324782-13-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- **324782-61-8P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- **324782-63-0P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(cyclohexyloxy)carbonyl]oxy]ethyl ester **324782-65-2P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester **324783-35-9P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- **324783-37-1P**, 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-

piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- **324783-39-3P**, Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- **324783-41-7P**, [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- **324783-98-4P**, [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- **324784-40-9P**, [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- β -oxo-, ethyl ester **324784-42-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- **324784-62-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester **324784-64-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester **324784-66-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester **324784-68-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester **324784-70-5P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester **324784-72-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester **324784-74-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester **324784-76-1P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester **324784-78-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester **324784-80-7P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester **324784-82-9P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

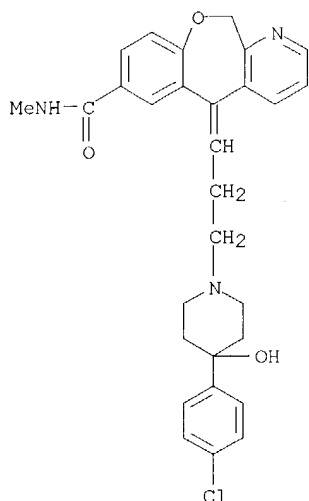
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



10706835

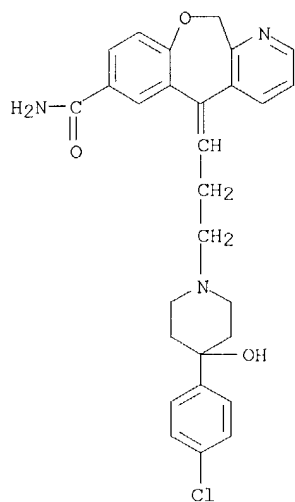
RN 324782-09-4 CAPLUS

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RN 324782-11-8 CAPLUS

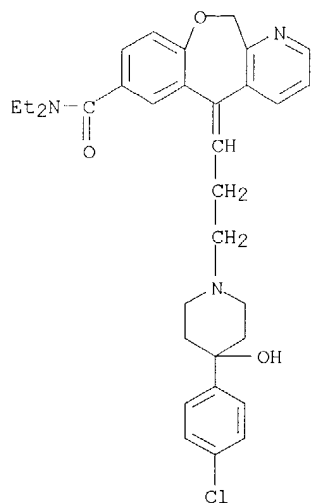
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-13-0 CAPLUS

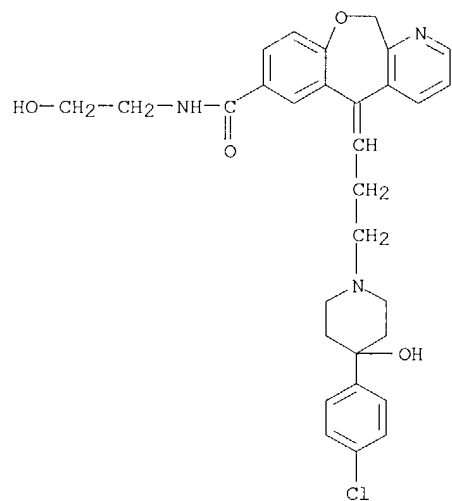
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)

10706835



RN 324782-61-8 CAPLUS

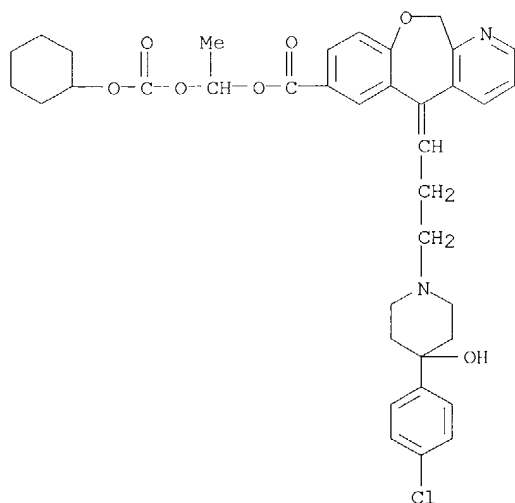
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-{4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl}propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI)
(CA INDEX NAME)



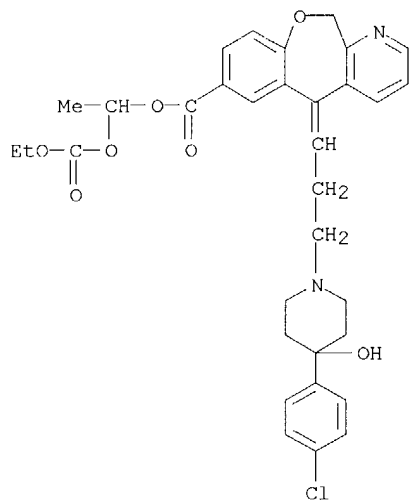
RN 324782-63-0 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-{4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl}propylidene]-5,11-dihydro-, 1-[(cyclohexyloxy)carbonyl]oxyethyl ester (9CI) (CA INDEX NAME)

10706835

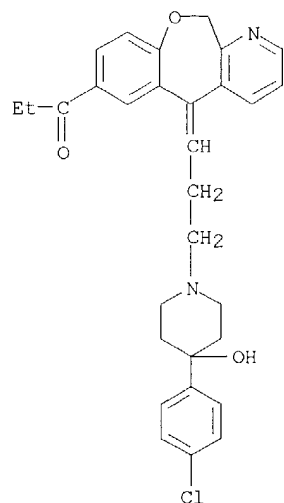


RN 324782-65-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-(4-(4-chlorophenyl)-4-hydroxy-1-piperidiny]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



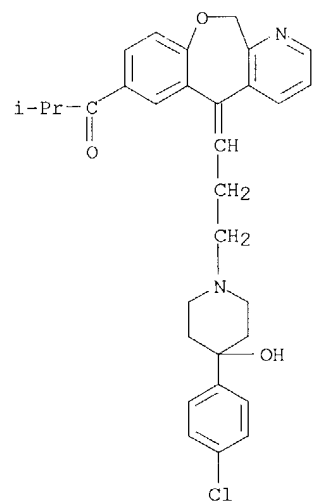
RN 324783-35-9 CAPLUS
 CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidiny]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

10706835



RN 324783-37-1 CAPLUS

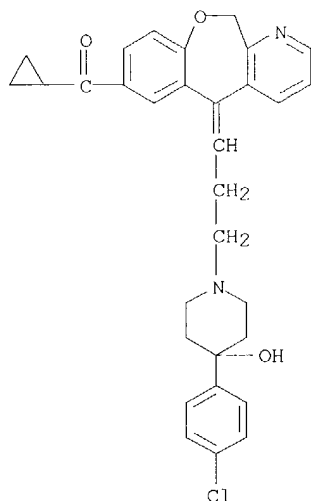
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



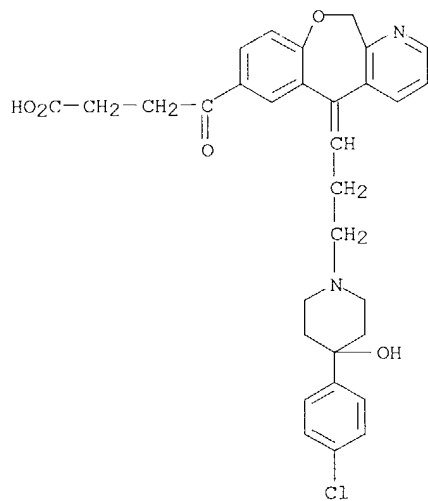
RN 324783-39-3 CAPLUS

CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)

10706835

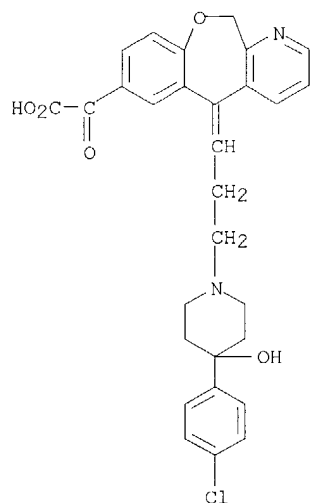


RN 324783-41-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- (9CI) (CA INDEX NAME)



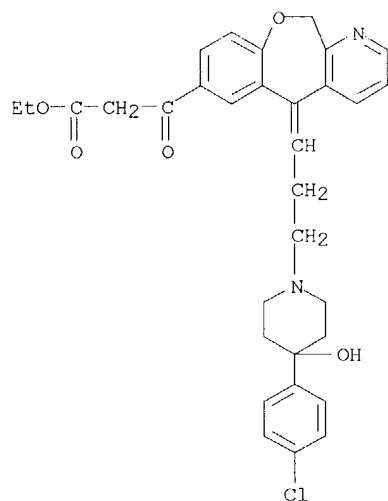
RN 324783-98-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- (9CI) (CA INDEX NAME)

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RN 324784-40-9 CAPLUS

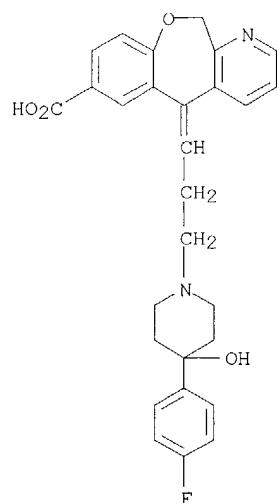
CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 324784-42-1 CAPLUS

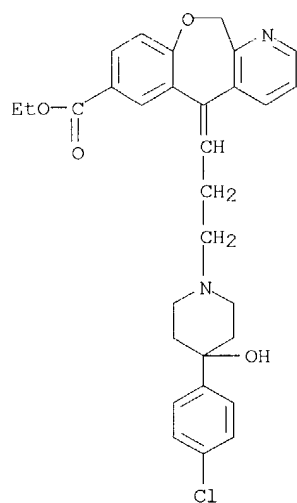
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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RN 324784-62-5 CAPLUS

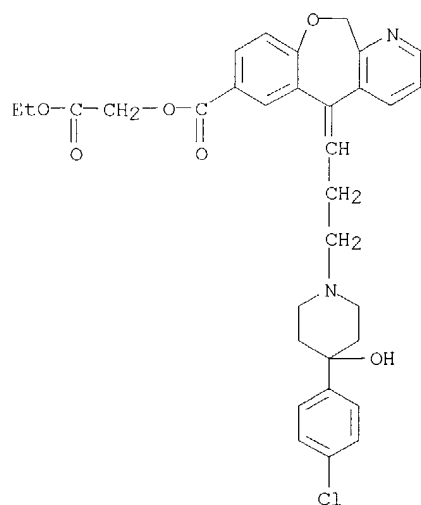
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 324784-64-7 CAPLUS

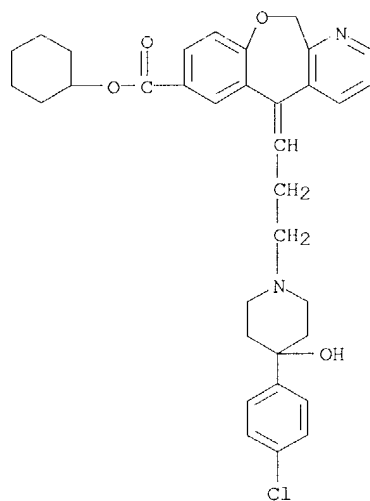
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)

10706835



RN 324784-66-9 CAPLUS

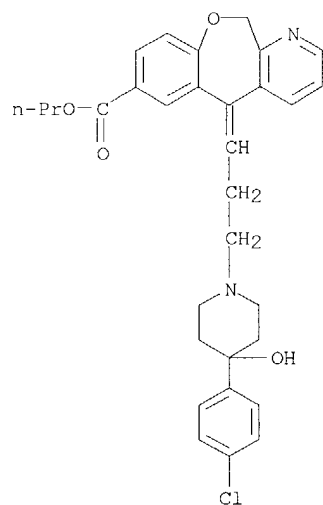
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI)
(CA INDEX NAME)



RN 324784-68-1 CAPLUS

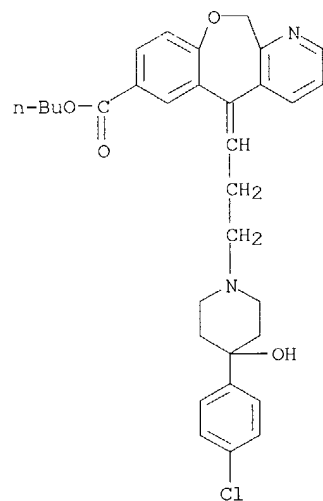
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI)
(CA INDEX NAME)

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RN 324784-70-5 CAPLUS

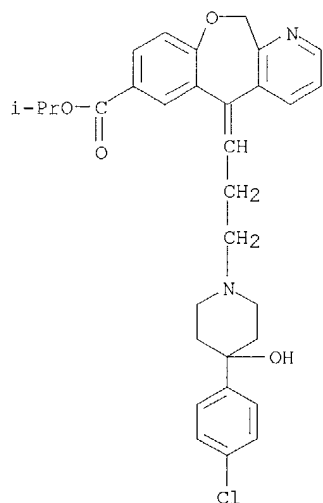
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)



RN 324784-72-7 CAPLUS

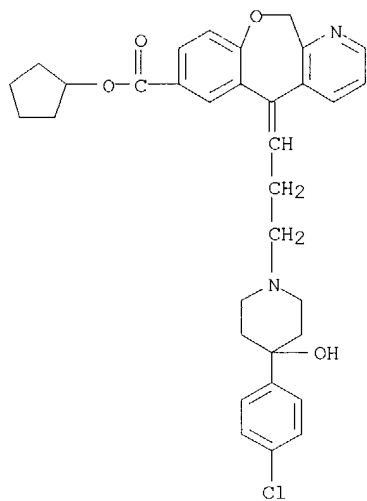
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)

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RN 324784-74-9 CAPLUS

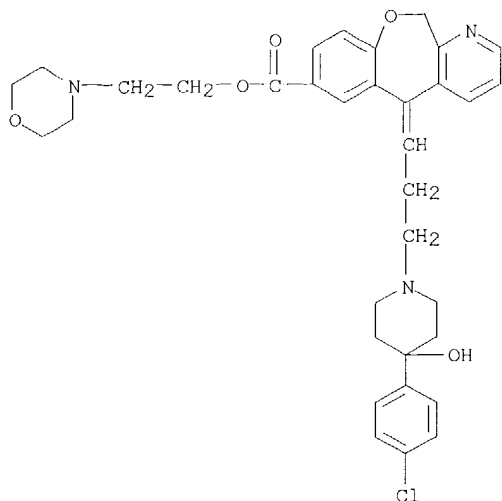
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)



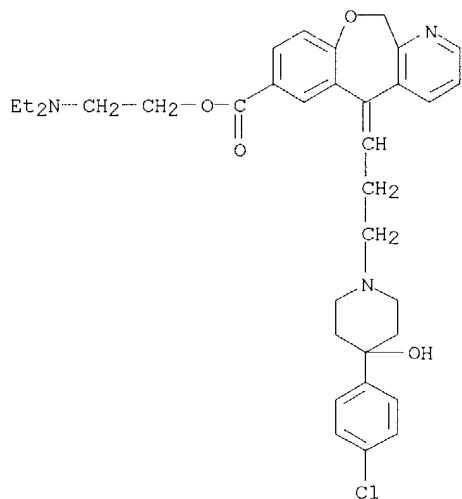
RN 324784-76-1 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

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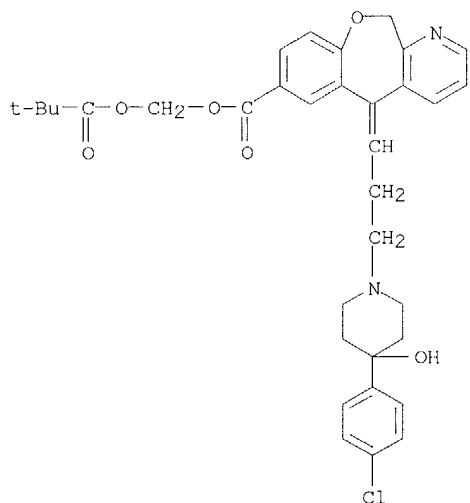


RN 324784-78-3 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



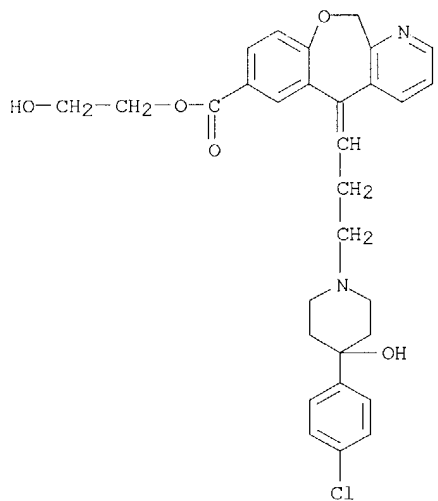
RN 324784-80-7 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

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RN 324784-82-9 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



IT **324785-94-6**, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester

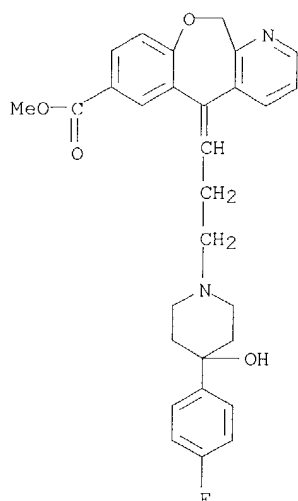
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-94-6 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

1000
1000
1000
1000
1000
1000



IT 475085-24-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

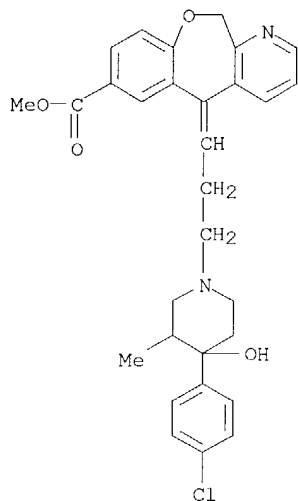
RN 475085-24-6 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-3-methyl-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester, monoformate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475085-23-5

CMF C30 H31 C1 N2 O4



CM 2

CRN 64-18-6

CMF C H2 O2

$$\text{O}=\text{CH}-\text{OH}$$


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IT 475085-30-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists for treatment of diseases associated with aberrant
leukocyte recruitment and activation)

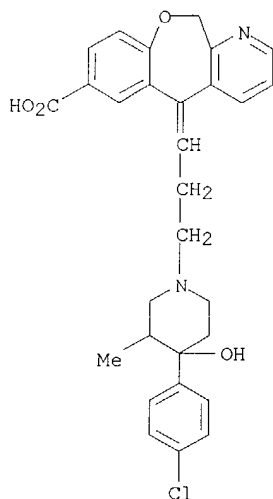
RN 475085-30-4 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-
4-hydroxy-3-methyl-1-piperidinyl]propylidene]-5,11-dihydro-, monoformate
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475085-29-1

CMF C29 H29 Cl N2 O4



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:658747 CAPLUS

DN 137:185480

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera,
Osamu; Harriman, Geraldine C. B.

PA USA

SO U.S. Pat. Appl. Publ., 102 pp., Cont.-in-part of U.S. Ser. No. 235,102.
CODEN: USXXCO

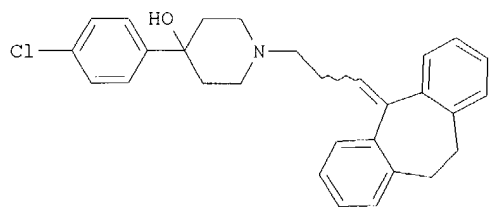
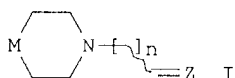
DT Patent

LA English

FAN.CNT 6

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	US 6509346	B2	20030121		
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 6329385	B1	20011211	US 1999-235102	19990121
	WO 2001009138	A2	20010208	WO 2000-US20732	20000728

WO 2001009138 A3 20010913
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WO 2003045942 A2 20030605 WO 2002-US36953 20021113
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US 1999-235102 A2 19990121
US 1999-362837 A 19990728
US 2000-627886 A2 20000728
WO 2000-US20732 W 20000728
US 2001-989086 A2 20011121
OS
GI MARPAT 137:185480



II

AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I [M = CR₁R₂ where R₁ = H, OH, alkyl, (un)substituted alkoxy, SR₃; R₃ = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR₄R₅; R₂ = OH, (un)substituted acyl, NR₆R₇, (un)substituted alkyl, aryl, etc.; R₄₋₇ = H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc., or, R₁, R₂, R₄ and R₅, or R₆ and R₇ taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted

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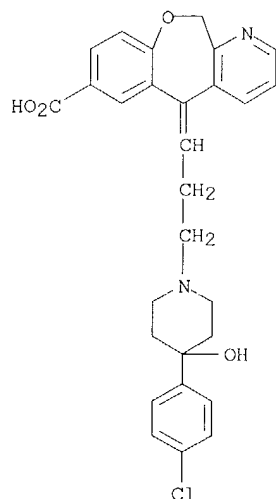
cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4] and their physiol. acceptable salts are prepared Chemokine binding activities of test compds. are reported with IC50 values ranging from <1 to <1000 μ M. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

IT 233260-14-5P, [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-324782-15-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

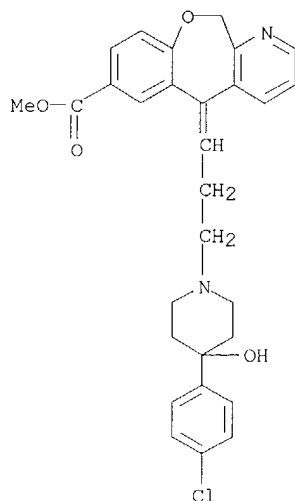
RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



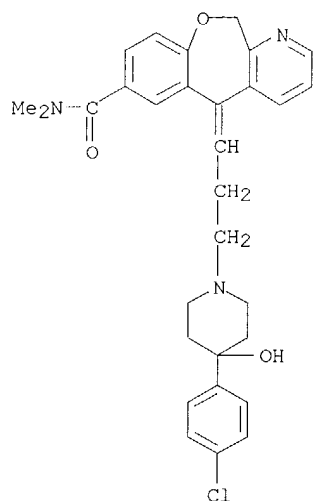
RN 324782-15-2 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

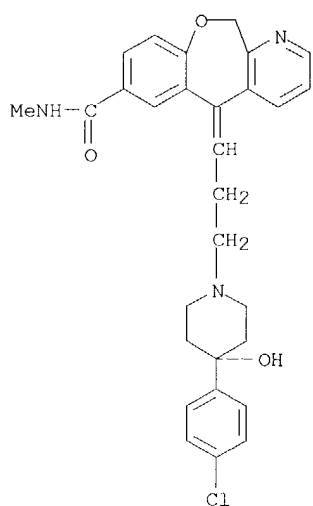


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IT **233261-19-3P**, [1]Benzoxepino[3,4-b]pyridine-7-carboxamide,
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N,N-dimethyl- **324782-09-4P 324782-11-8P**
324782-13-0P 324782-61-8P 324782-63-0P
324782-65-2P 324782-79-8P 324782-81-2P
452092-87-4P 452092-88-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of tricyclic-substituted piperidinols and analogs as chemokine
receptor antagonists for treatment of diseases associated with aberrant
leukocyte recruitment and activation)
RN 233261-19-3 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-
hydroxy-1-piperidiny]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA
INDEX NAME)



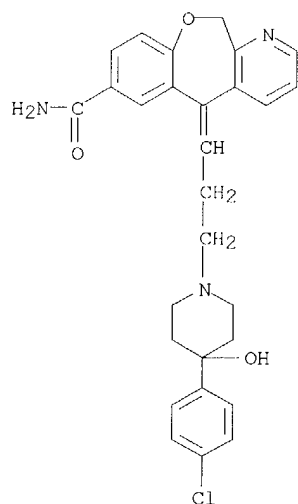
RN 324782-09-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-
hydroxy-1-piperidiny]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX
NAME)



RN 324782-11-8 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-

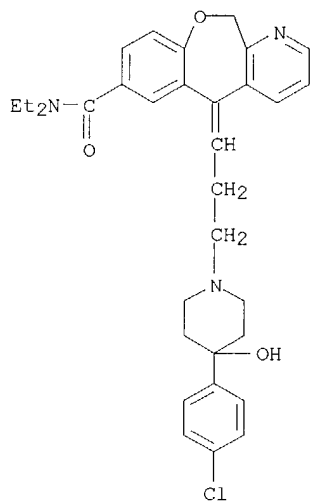
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hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-13-0 CAPLUS

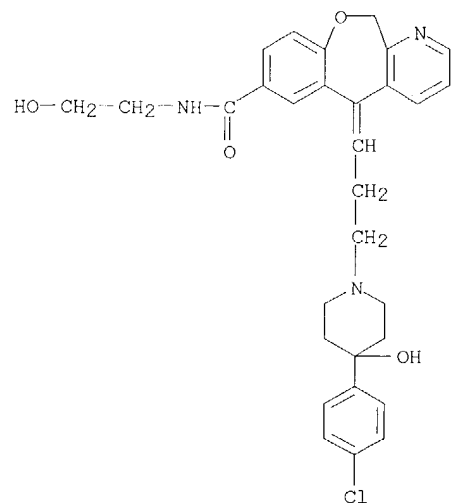
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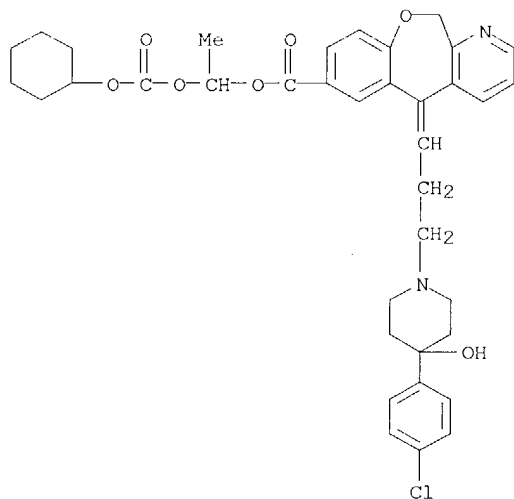
RN 324782-61-8 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

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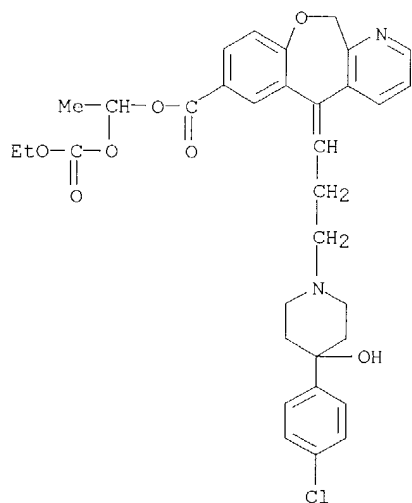


RN 324782-63-0 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(cyclohexyloxy)carbonyloxy]ethyl ester (9CI) (CA INDEX NAME)



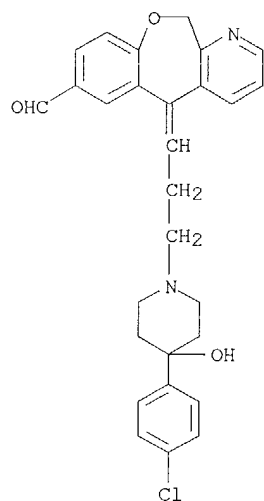
RN 324782-65-2 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[(ethoxycarbonyloxy)ethyl ester (9CI) (CA INDEX NAME)

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RN 324782-79-8 CAPLUS

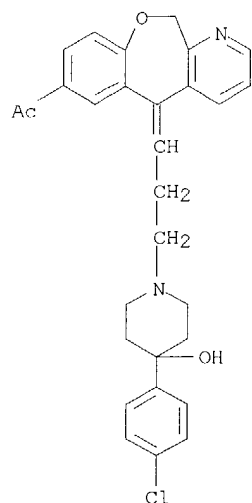
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



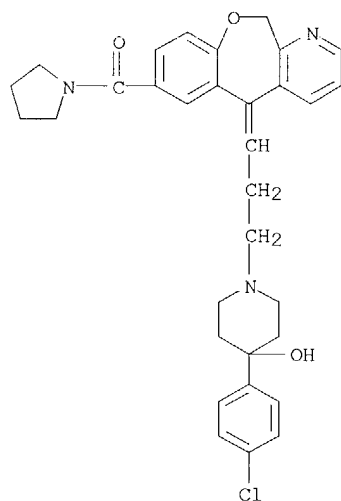
RN 324782-81-2 CAPLUS

CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

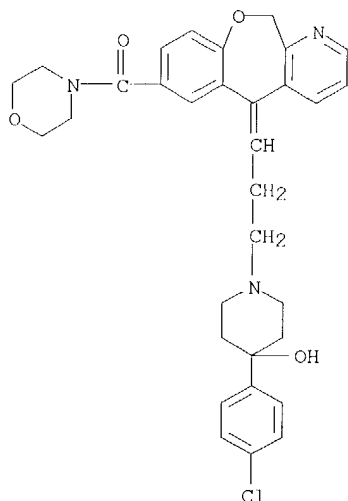
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RN 452092-87-4 CAPLUS
CN Pyrrolidine, 1-[[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

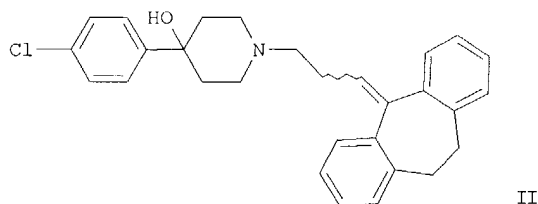
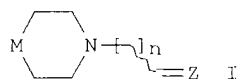


RN 452092-88-5 CAPLUS
CN Morpholine, 4-[[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:896498 CAPLUS
 DN 136:20060
 TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine
 receptor antagonists
 IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo
 PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
 SO U.S., 71 pp., Cont.-in-part of U.S. Ser. No. 148,823.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 6

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PI	US 6329385	B1	20011211	US 1999-235102	19990121
	US 6613905	B1	20030902	US 1998-148823	19980904
	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
	US 2002169155	A1	20021114	US 2001-989086	20011121
	US 2003045516	A1	20030306	US 2002-217865	20020813
	WO 2003045942	A2	20030605	WO 2002-US36953	20021113
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	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
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	PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,				
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	PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,				
	NE, SN, TD, TG				
FRAI	US 1998-10320	B2	19980121		
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	US 1999-362837	A2	19990728		
	US 2000-627886	A2	20000728		
	US 2001-989086	A2	20011121		
OS	MARPAT 136:20060				
GI					



AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective tricyclic-substituted piperidinols and analogs thereof, represented by structural formula I (M = CR₁R₂ where R₁ = H, OH, alkyl, (un)substituted alkoxy, SR₃ wherein R₃ = H or substituted alkyl, (un)substituted alkylcarboxy, alkoxycarbonyl, CN, COOH, CONR₄R₅; R₂ = OH, (un)substituted acyl, NR₆R₇, (un)substituted alkyl, aryl, etc., wherein R₄, R₅, R₆ and R₇ are independently H, (un)substituted acyl, aliphatic aromatic, heterocycle, etc. or , R₁ and R₂, R₄ and R₅, or R₆ and R₇ taken together with the atom to which they are bonded form a (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4), and their physiol. acceptable salts are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from <1 to <1000 uM. Thus, II was prepared via substitution of 5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 4-(4-chlorophenyl)-4-hydroxypiperidine.

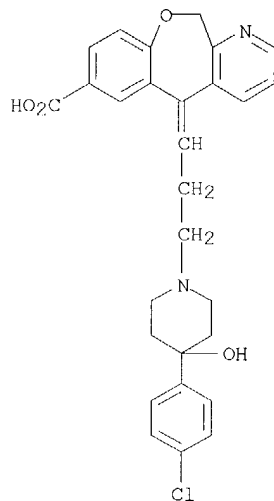
IT **233260-14-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



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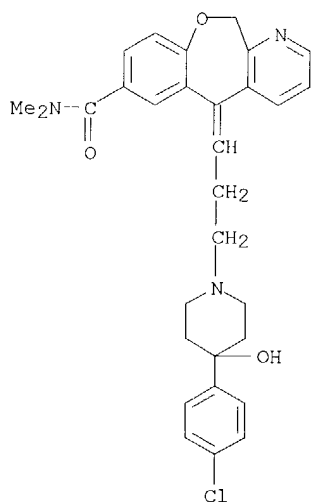
IT 233261-19-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:101145 CAPLUS

DN 134:163016

TI Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Sone, Hiroki; Kotera, Osamu; Harriman, Geraldine C. B.; Carson, Kenneth G.

PA Millennium Pharmaceuticals, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.

SO PCT Int. Appl., 323 pp.

CODEN: PIXXD2

DT Patent

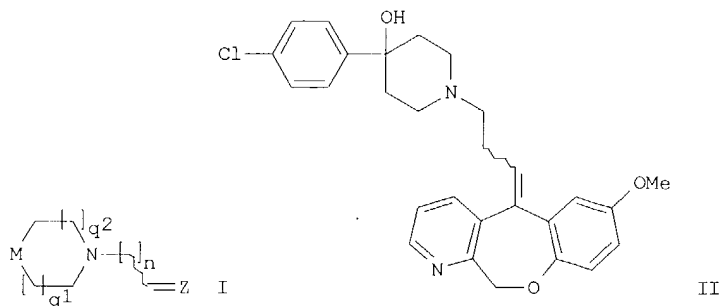
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 2002119973	A1	20020829	US 1999-362837	19990728
	US 6509346	B2	20030121		
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	JP 2003506377	T2	20030218	JP 2001-514341	20000728
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US 1998-10320 B2 19980121
 US 1998-148823 A2 19980904
 US 1999-235102 A2 19990121
 WO 2000-US20732 W 20000728
 OS MARPAT 134:163016
 GI



AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR₂, CR₁R₂, OCR₁R₂O, CH₂CR₁R₂O; R₁ = H, OH, N₃, etc.; R₂ = H, acyl, aryl, etc.; q₁ = 0-3; q₂ = 0-1; ring containing M is substituted or unsubstituted] and physiol. acceptable salts thereof are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from < 1 to < 1000 μ M. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated.

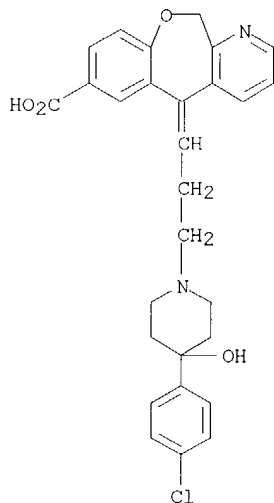
IT **233260-14-5P 324782-15-2P 324782-79-8P**
324782-81-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

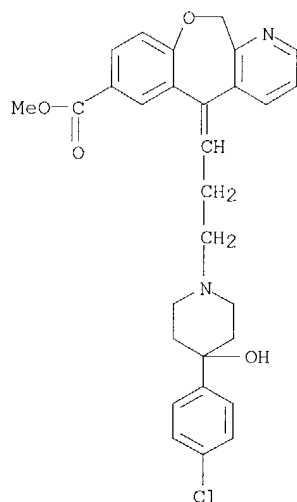
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



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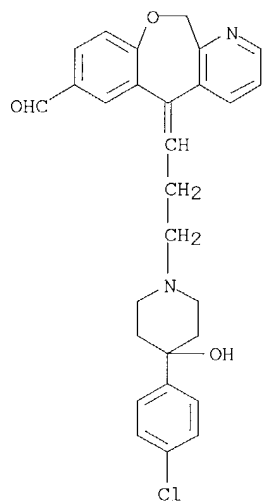
RN 324782-15-2 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI)
(CA INDEX NAME)



RN 324782-79-8 CAPLUS

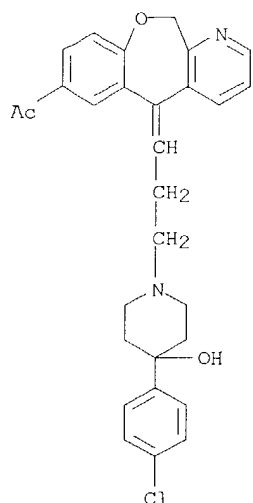
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxaldehyde, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)



RN 324782-81-2 CAPLUS

CN Ethanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

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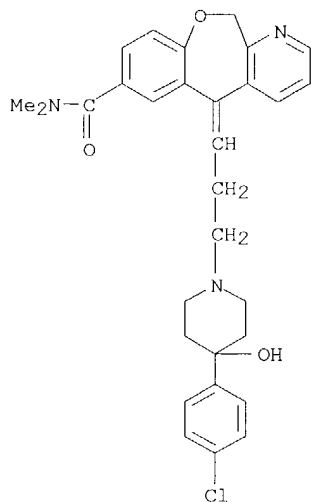


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324784-82-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPLUS

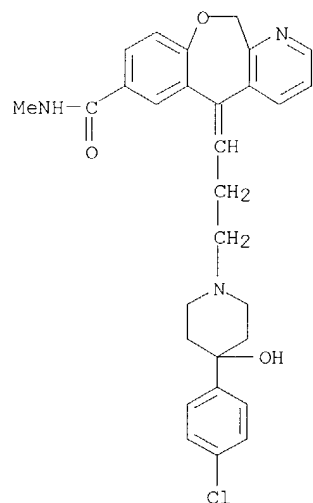
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 324782-09-4 CAPLUS

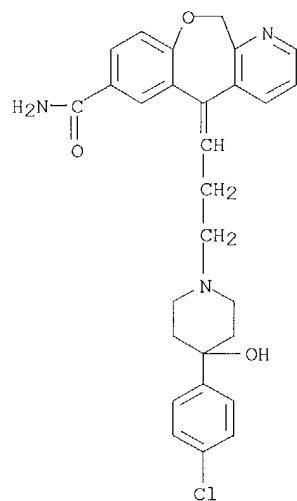
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)

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RN 324782-11-8 CAPLUS

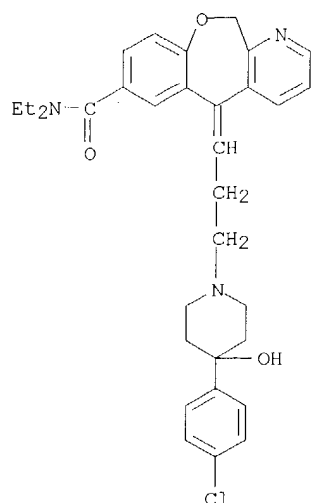
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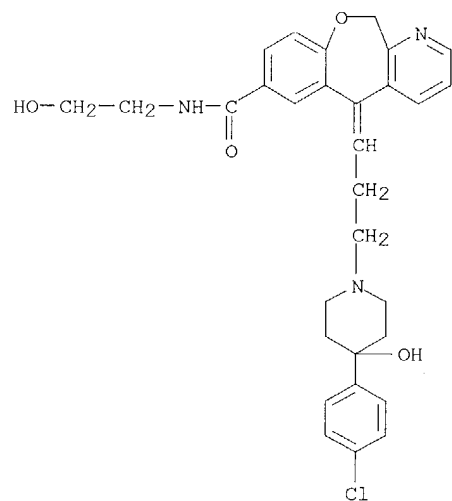
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CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-N,N-diethyl-5,11-dihydro- (9CI) (CA INDEX NAME)

10706835



RN 324782-61-8 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N-(2-hydroxyethyl)- (9CI)
 (CA INDEX NAME)

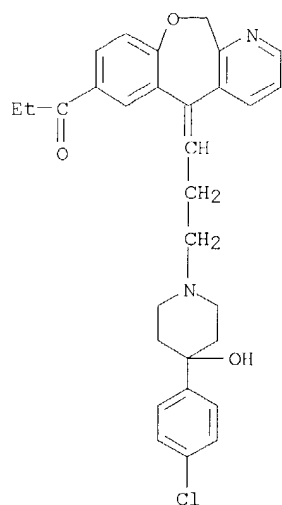


RN 324782-63-0 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-[[[(cyclohexyloxy)carbonyloxy]ethyl ester (9CI) (CA INDEX NAME)

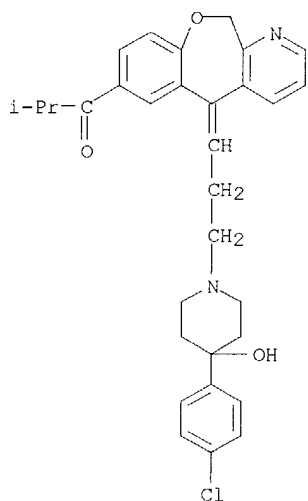
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RN 324783-35-9 CAPLUS
CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl)-(9CI) (CA INDEX NAME)

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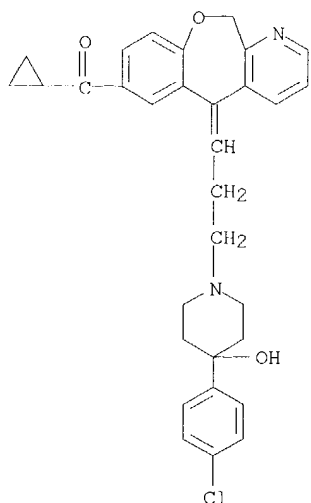


RN 324783-37-1 CAPLUS
 CN 1-Propanone, 1-[5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

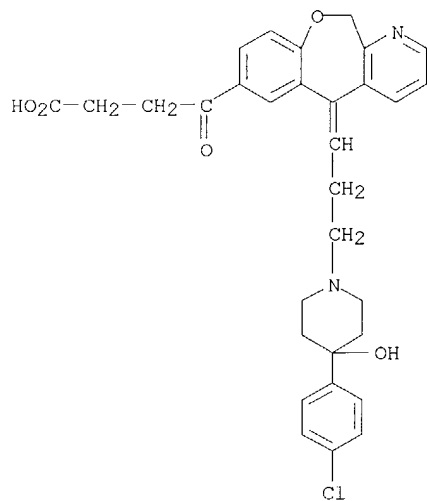


RN 324783-39-3 CAPLUS
 CN Methanone, [5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro[1]benzoxepino[3,4-b]pyridin-7-yl]cyclopropyl- (9CI) (CA INDEX NAME)

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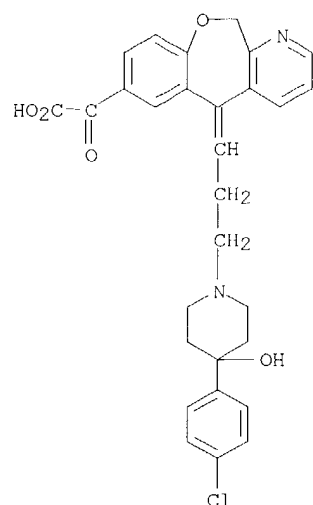


RN 324783-41-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- γ -oxo- (9CI) (CA INDEX NAME)

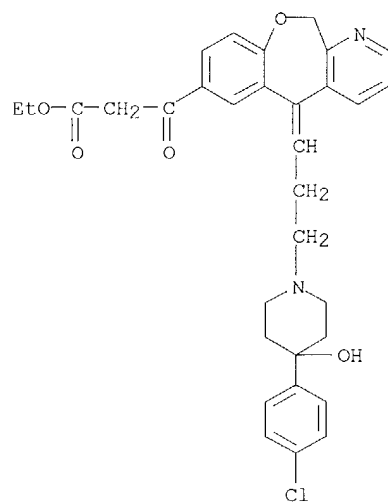


RN 324783-98-4 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-acetic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- α -oxo- (9CI) (CA INDEX NAME)

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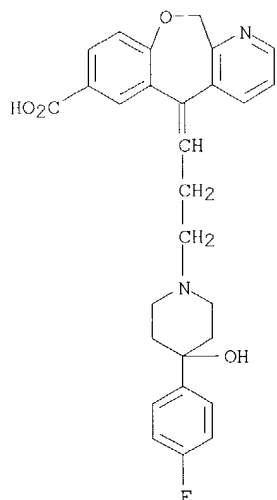


RN 324784-40-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-propanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)

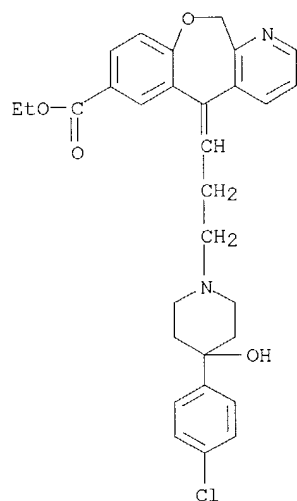


RN 324784-42-1 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)

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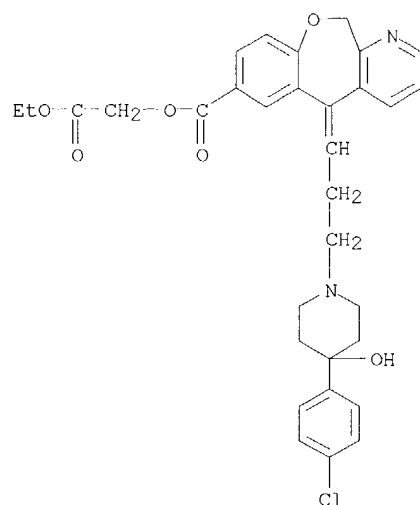


RN 324784-62-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

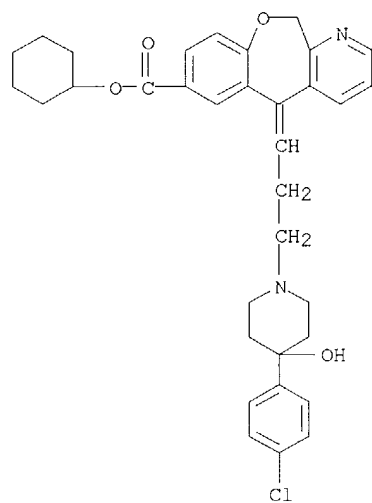


RN 324784-64-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-ethoxy-2-oxoethyl ester (9CI) (CA INDEX NAME)

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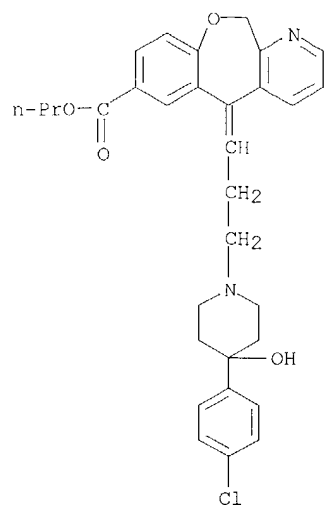


RN 324784-66-9 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclohexyl ester (9CI)
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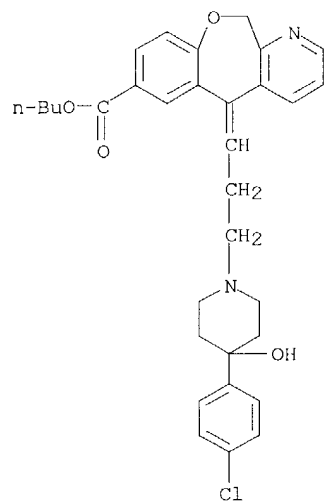


RN 324784-68-1 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, propyl ester (9CI)
(CA INDEX NAME)

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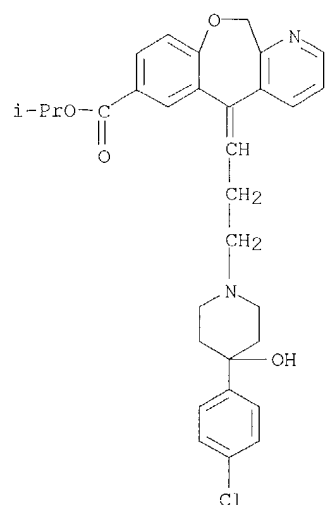


RN 324784-70-5 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, butyl ester (9CI) (CA INDEX NAME)

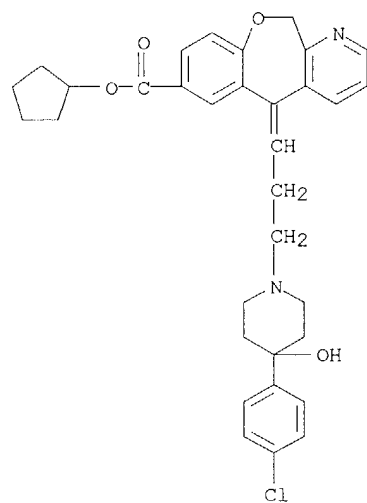


RN 324784-72-7 CAPLUS
CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 1-methylethyl ester (9CI) (CA INDEX NAME)

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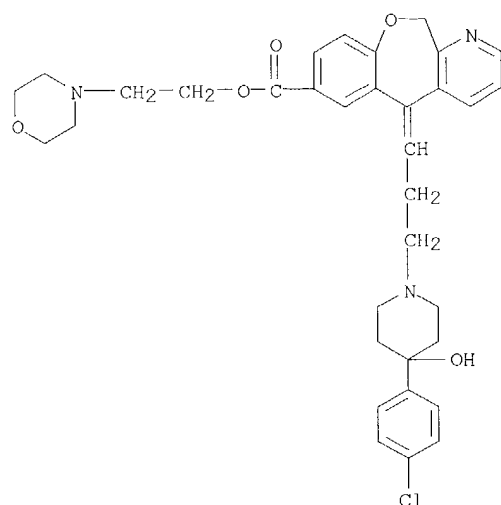


RN 324784-74-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, cyclopentyl ester (9CI) (CA INDEX NAME)

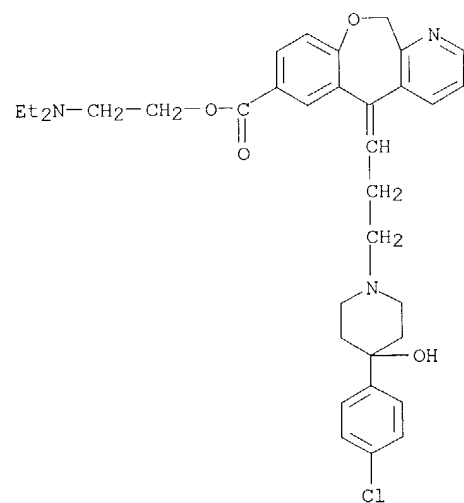


RN 324784-76-1 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

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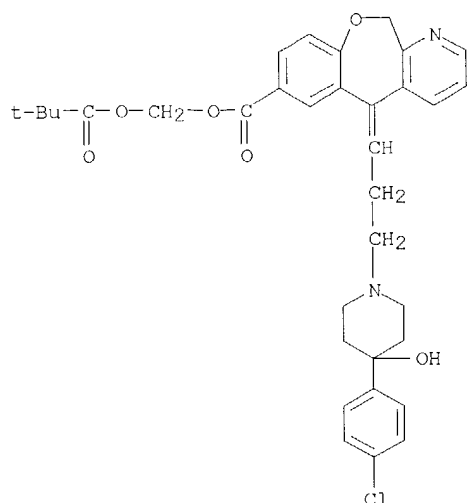


RN 324784-78-3 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

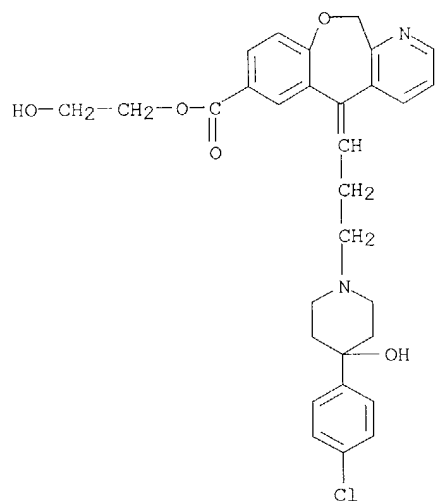


RN 324784-80-7 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

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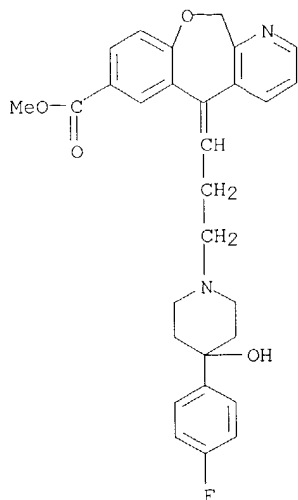


RN 324784-82-9 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



IT **324785-94-6**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
 RN 324785-94-6 CAPLUS
 CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-, methyl ester (9CI) (CA INDEX NAME)

10706835



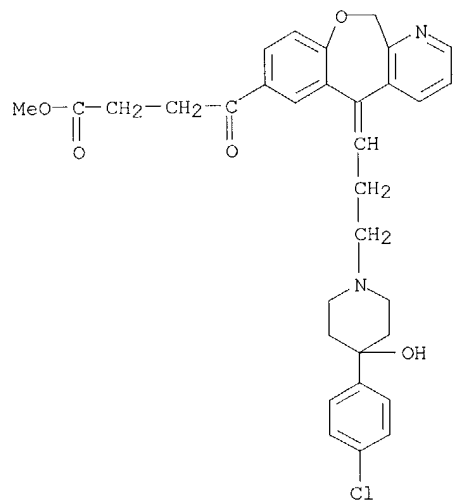
IT 324785-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 324785-37-7 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-butanoic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidiny]propylidene]-5,11-dihydro-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:487299 CAPLUS

DN 131:116224

TI Tricyclic-substituted piperidinols and analogs useful as chemokine receptor antagonists and methods of use therefor

IN Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo

PA Leukosite, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.

SO PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DT Patent

LA English

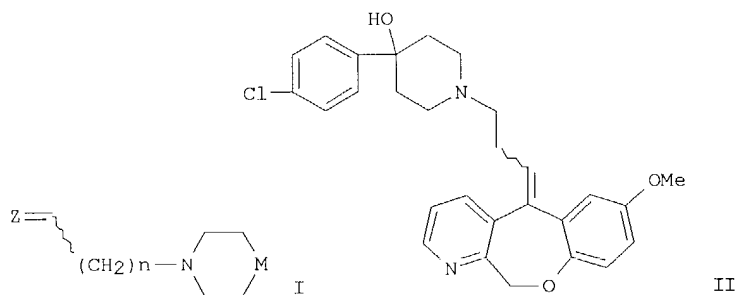
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PATENT NO.

KIND DATE

APPLICATION NO. DATE

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OS	MARPAT 131:116224				
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AB Disclosed is a method of treating a subject with a disease associated with aberrant leukocyte recruitment and/or activation. Therapeutically effective compds. represented by structural formula I [Z = (un)substituted cycloalkyl or non-aromatic heteocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4 or (CH₂)_n may be replaced by an aliphatic or aromatic spacer group; M = NR₂, CR₁R₂; R₁ = H, OH, aliphatic group, CN, (un)substituted OH, SH, CO₂H, carbamoyl, or amino, cyano, etc.; R₂ = H, OH, (un)substituted aliphatic group, aromatic group, benzylic group, or non-aromatic heterocyclic group; R groups may form rings] and physiolog. acceptable salts thereof are prepared. Chemokine binding activities of test compds. are reported with IC₅₀ values ranging from < 1 to < 1000 μM. Thus, 4-(4-chlorophenyl)-1-[3-(5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-ylidene)propyl]piperidin-4-ol (II) is prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DME. Major and minor isomers were separated.

233260-14-5P

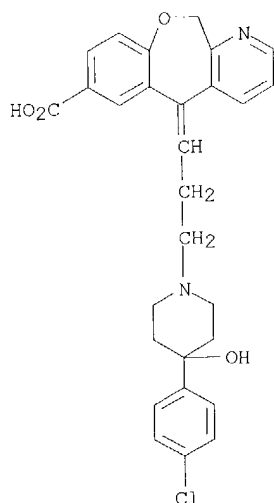
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233260-14-5 CAPLUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxylic acid, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro- (9CI) (CA INDEX NAME)

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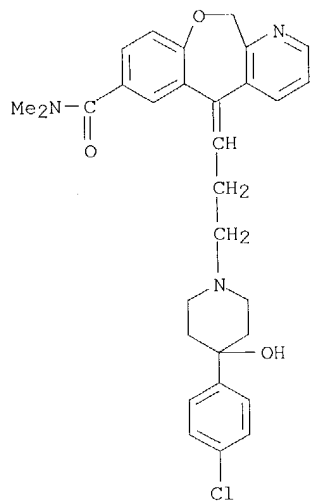


IT 233261-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic piperidinols as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 233261-19-3 CAPIUS

CN [1]Benzoxepino[3,4-b]pyridine-7-carboxamide, 5-[3-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]propylidene]-5,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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